IN THE CLAIMS:

Please cancel claims 3 and 5, without prejudice.

Please amend claims 1, 2, 4, and 6-11 to read:

1. (Amended) A condensed pyridine compound represented by the following formula, its pharmaceutically acceptable salt or hydrates thereof

5 h

$$R^3$$
 $(CH_2)_n$ B

Wherein,

R¹ represents a hydrogen atom, a halogen atom, a lower alkyl group or a lower alkoxyl group;

R² represents a 4-morpholinyl group, a 1-imidazolyl group, a 1-lower alkyl homopiperazin-4-yl group or a group selected from the groups represented by the following formulae:

$$+N$$
 $T-R^4$
 $+N$
 R^5
 $-N$
 $=N$

(wherein, T represents a nitrogen atom or a methine group;

R³ represents a hydrogen atom, a halogen atom, a lower alkyl group or a lower alkoxyl group;

Appl. No.: 09/852,850

R⁴ represents a hydrogen atom, a lower alkyl group, a hydroxy lower alkyl group, a halogenated lower alkyl group, a lower cycloalkyl group, an aryl group, an aralkyl group, 1-piperidyl group, an alkenyl group, a cyano lower alkyl group, a carbamoyl lower alkyl group, a lower acyl group, an aromatic acyl group, a lower alkoxyl carbonyl group, an aryloxycarbonyl group or an aralkyloxycarbonyl group;

R⁵ and R⁶ are the same as or different from each other and each represents a hydrogen atom, a lower alkyl group, a di lower alkyl aminoalkyl group, an optionally substituted heteroaryl lower alkyl group);

n represents 0 or an integer of 1 to 6; and

B represents an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aralkyloxy group, an aryl(hydroxy)alkyl group, an aromatic acyl amino group, an arylsulfonylamino group, a lower alkoxyl arylsulfonylamino group, a hydroxy lower alkoxyl styryl group, a lower alkoxyl aryloxy group, 4-phenylpiperidin-1-yl group, 4-pyridylpiperidin-1-yl group, an optionally substituted arylalkenyl group, an optionally substituted heteroarylalkenyl group, an optionally substituted heteroarylalkenyl group, an optionally N-substituted amino lower alkyl group, an optionally substituted arylamino group, an optionally substituted aralkylamino group or a group selected from the groups represented by the following formulae:

$$\begin{array}{c|c}
 & R^7 \\
\hline
 & R^8 \\
\hline
 & Q \\
\hline
 & R^9
\end{array}$$

$$\begin{array}{c|c}
 & Q \\
\hline
 & Q$$

OR²⁴ (wherein z represents 0 or 1;

Q represents a nitrogen atom or a methine group;

52h

A

R8 and R9 are the same as or different from each other and each represents a hydrogen atom, a halogen atom, hydroxyl group, a lower alkyl group, a lower alkenyl group, a lower alkynyl group, a lower alkoxyl group, a lower thioalkoxyl group, a hydroxy lower thioalkoxyl group, an arylthio group, a heteroarylthio group, a heteroaryl(hydroxy)alkyl group, a halogenated lower alkyl group, a hydroxy lower alkyl group, a dihydroxy lower alkyl group, a halogenated (hydroxy) lower alkyl group, a hydroxyalkenyl group, a hydroxyalkynyl group, a hydroxy lower cycloalkenyl group, a lower alkoxy(hydroxy)alkyl group, a lower alkoxy(hydroxy)alkoxy group, a lower alkoxyalkyl group, a lower alkoxyalkoxy group, a lower thioalkoxyalkoxy group, a lower alkylsulfonylalkoxy group, a hydroxy lower alkoxy group, a dihydroxy lower alkoxy group, a hydroxy lower alkylalkoxy group, a hydroxyimino lower alkyl group, a lower cycloalkyl (hydroxy) alkyl group, an aralkyl group, a hydroxyaralkyl group, cyano group, a cyano lower alkyl group, amide group, an N-lower alkylamide group, an Nlower cycloalkylamide group, an N,N-di lower alkylamide group, an N-hydroxy lower alkylamide group, an N-hydroxy lower alkyl-N-lower alkylamide group, an N-arylamide group, cyclic aminocarbonyl group, carbamoyl group, an Nlower alkyl carbamoyl group, an N,N\di lower alkyl carbamoyl group, aminosulfonyl group, cyclic aminosulfonyl group, an N-lower alkylaminosulfonyl group, an N-lower cycloalkylaminosulfonyl group, an N,N-di lower alkylaminosulfonyl group, an N-hydroxy lower alkylaminosulfonyl group, an N-lower alkoxyalkylaminosulfonyl group, an N-halogenated lower alkylsulfonyl group, pyrrolidinylsulfonyl group, a lower alkylsulfonylaminoalkyl group, an N-lower alkylaminosulfonylalkyl group, an N,N-di lower alkylaminosulfonylalkyl group, a lower acyl group, a lower acylalkyl group, a lower cycloalkyl(hydroxy)methyl group, tetrahydrogyranyl group, hydroxytetrahydropyranyl group, a hydroxy lower alkyltetrahydropyranyl group, a lower acylaminoalkyl group, (thiazol-2-yl)hydroxymethyl group,

SUN BL

di(thiazol-2-yl)hydroxymethyl group, a lower alkylsulfonyl group, a lower alkoxyalkylsulfonyl group, a hydroxy lower alkylsulfonyl group, a lower alkylsulfonylalkyl group, an N-lower alkylamidealkyl group, an aryl group, an aralkyl group, a heteroaryl group, a heteroaryl lower alkyl group, a heteroaryl lower alkoxy group, a heteroarylsulfonyl group, 4-morpholinylsulfonyl group, 4oxythiomorpholinylsulfonyl group, 4-dioxythiomorpholinylsulfonyl group, 4morpholinylsulfonyl group, a hydroxy lower cycloalkyl group, a hydroxy lower cycloalkyloxy group, a hydroxycycloalkenyl group, a halogenated hydroxy lower alkyl group, 4-hydroxypiperidyl group, a 4-lower alkoxypiperidyl group, an ω,ω lower alkylenedioxyalkyl group, an ω,ω-lower alkylenedioxyalkoxy group, a lower cycloalkylhydroxymethyl group, an aryloxy group, an arylaminosulfonyl group, amino group, a lower alkylamino group, a di lower alkylamino group, a hydroxy lower alkylamind group, a lower acylamino group, a hydroxy lower acylamino group, a lower alkylsulfonylamino group, a pyridyl lower alkoxy group, a lower alkylpyridylalkoxy group, a lower alkoxyhydroxyalkoxy group, a lower thioalkoxyalkoxy group,\a lower alkylsulfonylalkoxy group, an N-lower alkylcarbamoyl group, an N,N-di lower alkylcarbamoyl group, an N-hydroxy lower alkylcarbamoyl group, an N-hydroxy lower alkyl-N-lower alkylcarbamoyl group, a halogenated lower alkoxy group, a cyano lower alkoxy group, a hydroxy lower cycloalkoxy group, trifluoromethyl group, trifluoromethoxy group, an amino lower alkoxy group, an N-lower alkyl aminoalkoxy group, an N,N-di lower alkylaminoalkoxy group, à lower acylalkoxy group, a lower acylaminoalkoxy group, a (1,3-dioxolanyl) lower alkyl group, a (1,3-dioxolanyl) lower alkoxyl group, an amide lower alkoxyl group, a 4-(hydroxyalkyl)tetrahydropyran-4-yl group, \$2,3-dihydrobenzofuranyl group, a 2hydroxy-2-alkyl-2,3-dihydrobenzofuranyl group, indanonyl group, hydroxyindanyl group, an imidazolyl lower alkoxyl group, succinimide group or 2-oxazolidon-3-yl group;

furthermore, R⁷ represents a hydrogen atom, while R⁸ and R⁹ form cyclopentanone ring, hydroxycyclopentane ring, a hydroxyalkylcyclopentane ring, cyclohexanone ring, hydroxycyclohexane ring, a hydroxyalkylcyclohexane ring\ 2-hydroxymethyl-2-methylcyclopentanone ring, 1,2-ethylenedioxy ring or methylenedioxy ring;

m or p represents 0 or an integer of 1 to 6;

 R^{10} , R^{12} , R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{20} , R^{21} , R^{22} , R^{23} , R^{25} , R^{27} and R^{29} independently represent a hydrogen atom, a halogen atom, hydroxyl group, a Tower alkyl group, a lower alkoxy group, a hydroxy lower alkyl group, a hydroxy lower alkoxy group or tetrahydropyranyl group;

R11 represents a hydrogen atom, a halogen atom, hydroxy group, a lower alkyl group or a lower alkoxy group;

R²⁴ represents a hydrogen atom or a lower alkyl group;

R²⁶ represents a hydrogen atom or a hydroxy lower alkyl group;

R²⁸ represents a hydrogen atom or a lower alkyl group;

R30 represents a hydrogen atom, a lower alkyl group, a lower alkoxy group, a hydroxy lower alkyl group or\a hydroxy lower alkoxy group;

W represents sulfur atom or oxygen atom;

the bond represented by the following formula:

represents a single or double bond; and

the bond represented by the following formula:

~~

represents trans or cis bond).

2. (Amended) A condensed pyridine compound represented by the following formula, its pharmaceutically acceptable salt or hydrates thereof

$$R^{1}$$
 R^{2}
 R^{2}
 R^{2}

wherein,

R¹ represents a hydrogen atom, a halogen atom, a lower alkyl group or a lower alkoxy group;

R² represents 4-morpholinyl group, 1-imidazolyl group, a 1-lower alkylhomopiperazin-4-yl group or a group selected from the groups represented by the following formulae:

(wherein, T represents a nitrogen atom or a methine group;

R⁴ represents a hydrogen atom, a lower alkyl group, a hydroxy lower alkyl group, a halogenated lower alkyl group, a lower cycloalkyl group, an aryl group, an aralkyl group, 1-piperidyl group, an alkenyl group, a cyano lower alkyl group, a carbamoyl lower alkyl group, a lower acyl group, an aromatic acyl

group, a lower alkoxy carbonyl group, an aryloxycarbonyl group or an aralkyloxycarbonyl group; and

R⁵ and R⁶ are the same as or different from each other and each represents a hydrogen atom, a lower alkyl group, a di lower alkylaminoalkyl group, an optionally substituted heteroaryl lower alkyl group);

n represents 0 or an integer of 1 to 6; and

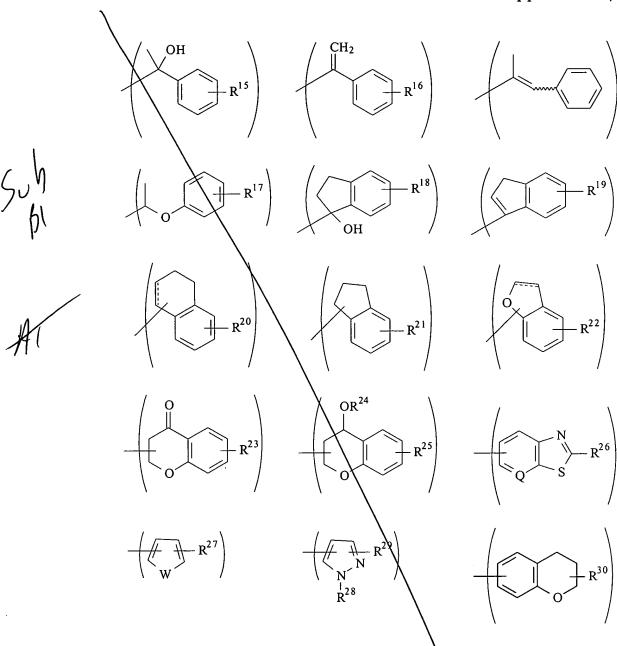
B represents an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aralkyloxy group, an aryl(hydroxy)alkyl group, an aromaticacylamino group, an arylsulfonylamino group, a lower alkoxyarylsulfonylamino group, a hydroxy lower alkoxystyryl group, a lower alkoxyaryloxy group, 4-phenylpiperidin-1-yl group, 4-pyridylpiperidin-1-yl group, an optionally substituted arylalkenyl group, an optionally substituted heteroarylalkenyl group, an optionally substituted heteroarylalkynyl group, an aromaticacylalkynyl group, an optionally N-substituted amino lower alkyl group, an optionally substituted arylamino group, an optionally substituted aralkylamino group or a group selected from the groups represented by the following formulae:

$$\begin{array}{c|c}
R^7 \\
\hline
 & R^8 \\
\hline
 & C \\
 & C \\
\hline
 & C \\
 & C \\
\hline
 & C$$

βl

AY

Appl.-No.: 09/852,850



(wherein, z represents 0 or 1;

Q represents a nitrogen atom or a methine group;

R⁷, R⁸ and R⁹ are the same as or different from each other and each represents a hydrogen atom, a halogen atom, hydroxy group, a lower alkyl group, a lower alkenyl group, a lower alkynyl group, a lower alkoxy group, a lower thioalkoxy

,√V βl group, a hydroxy lower thioalkoxy group, an arylthio group, a heteroarylthio group, a heteroaryl(hydroxy)alkyl group, a halogenated lower alkyl group, a hydroxy lower alkyl group, a dihydroxy lower alkyl group, a halogenated(hydroxy) lower alkyl group, a hydroxyalkenyl group, a hydroxyalkynyl group, a hydroxy lower cycloalkenyl group, a lower alkoxy(hydroxy)alkyl group, a lower alkoxy(hydroxy)alkoxy group, a lower alkoxyalkyl group, a lower alkoxyalkoxy group, a lower thioalkoxyalkoxy group, a lower alkyl sulfonylalkoxy group, a hydroxy lower alkoxy group, a dihydroxy lower alkoxy group, a hydroxy lower alkylalkoxy group, a hydroxyimino lower alkyl group, a lower cycloalkyl (hydroxy)alkyl group, an aralkyl group, a hydroxyaralkyl group, dyano group, a cyano lower alkyl group, amide group, an N-lower alkyl amide group, an N-lower cycloalkyl amide group, an N,N-di lower alkyl amide group, an N-hydroxy lower alkyl amide group, an N-hydroxy lower alkyl-N-lower alkyl amide group, an N-arylamide group, a cyclic amino carbonyl group, carbamoyl group, an N-lower alkyl carbamoyl group, an N,Ndi-lower alkyl carbamoyl group, aminosulfonyl group, a cyclic amino sulfonyl group, an N-lower alkyl aminosulfohyl group, an N-lower cycloalkylaminosulfonyl group, an N,N-di lower alkyl aminosulfonyl group, an N-hydroxy lower alkylaminosulfonyl group, an N-lower alkoxyalkylaminosulfonyl group, an N-halogenated lower alkylsulfonyl group, pyrrolidinylsulfonyl group, a lower alkylsulfonylaminoalkyl group, an N-lower alkylaminosulfonylalkyl group, an N,N-di lower alkylaminosulfonylalkyl group, a lower acyl group, a lower acylalkyl group, a lower cycloalkyl(hydroxy)methyl group, tetrahydropyranyl group, hydroxytetrahydropyranyl group, a hydroxy lower alkyltetrahydropyranyl group, a lower acylaminoalkyl group, (thiazol-2yl)hydroxymethyl group, di(thiazol-2-yl)hydroxymethyl group, a lower alkylsulfonyl group, a lower alkoxyalkylsulfonyl group, a hydroxy lower alkyl sulfonyl group, a lower alkyl sulfonylalkyl group, an N-lower alkyl amidealkyl

70/1 131

AT

group, an aryl group, an aralkyl group, a heteroaryl group, a heteroaryl lower alkyl group, a heteroaryl lower alkoxy group, a heteroarylsulfonyl group, 4morpholinylsulfonyl group, 4-oxythiomorpholinylsulfonyl group, 4dioxythiomorpholinylsulfonyl group, 4-morpholinylsulfonyl group, a hydroxy lower cycloalkyl group, a hydroxy lower cycloalkyloxy group, a hydroxycydoalkenyl group, a halogenated hydroxy lower alkyl group, 4hydroxypiperidyl group, a 4-lower alkoxy piperidyl group, an ω,ω-lower alkylene dioxyalkyl group, an ω , ω -lower alkylene dioxyalkoxy group, a lower cycloalkyl hydroxymethyl group, an aryloxy group, an arylaminosulfonyl group, amino group, a lower alkylamino group, a di lower alkylamino group, a hydroxy lower alkylamino group, a lower acylamino group, a hydroxy lower acylamino group, a lower alkylsulfonylamino group, a pyridyl lower alkoxy group, a lower alkylpyridylalkoxy group\a lower alkoxyhydroxyalkoxy group, a lower thioalkoxyalkoxy group, a lower alkylsulfonylalkoxy group, an N-lower alkylcarbamoyl group, an N,N-di lower alkylcarbamoyl group, an N-hydroxy lower alkylcarbamoyl group, an N-hydroxy lower alkyl-N-lower alkylcarbamoyl group, a halogenated lower alkoxy group, a cyano lower alkoxy group, a hydroxy lower cycloalkoxy group, trifluoromethyl group, trifluoromethoxy group, an amino lower alkoxy group, an N-lower alkyl aminoalkoxy group, an N,N-di lower alkylaminoalkoxy group,\a lower acylalkoxy group, a lower acylaminoalkoxy group, a (1,3-dioxolanyl) lower alkyl group, a (1,3-dioxolanyl) lower alkoxy group, an amide lower alkoxy group, a 4-(hydroxyalkyl)tetrahydropyran-4-yl group, 2,3-dihydrobenzofuranyl group, a 2hydroxy-2-alkyl-2,3-dihydrobenzofuranyl group, indanonyl group, hydroxyindanyl group, an imidazolyl lower alkoxy group, succinimide group or 2-oxazolidon-3-yl group;

furthermore, R7 represents a hydrogen atom, while R8 and R9 may form

cyclopentanone ring, hydroxycyclopentane ring, a hydroxyalkylcyclopentane ring, cyclohexanone ring, hydroxycyclohexane ring, a hydroxyalkylcyclohexane ring, 2-hydroxymethyl-2-methylcyclopentanone ring, 1,2-ethylenedioxy ring or methylchedioxy ring;

m or p represents 0 or an integer of 1 to 6;

R¹⁰, R¹², R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²⁰, R²¹, R²², R²³, R²⁵, R²⁷ and R²⁹ independently represent a hydrogen atom, a halogen atom, hydroxy group, a lower alkyl group, a lower alkoxy group, a hydroxy lower alkyl group, a hydroxy lower alkoxy group or tetrahydropyranyl group;

R¹¹ represents a hydrogen atom, a halogen atom, hydroxy group, a lower alkyl group or a lower alkoxy group;

R²⁴ represents a hydrogen atom or a lower alkyl group;

R²⁶ represents a hydrogen atom or a hydroxy lower alkyl group;

R²⁸ represents a hydrogen atom or a lower alkyl group;

R³⁰ represents a hydrogen atom, a lower alkyl group, a lower alkoxy group, a hydroxy lower alkyl group or a hydroxy lower alkoxy group;

W represents sulfur atom or oxygen atom;

the bond represented by the following formula:

represents a single or double bond; and

the bond represented by the following formula:

~~~

Suppl



represents trans or cis bond).

4. (Amended) The condensed pyridine compound as claimed in Claim 1, which is a compound represented by the following formula:

 $(CH_2)_n - R^{33}$

50 kg2

(wherein R³¹ has the same meaning as that of the above R¹, R³² has the same meaning as that of the above R² and R³³ has the same meanings as that of the above B, respectively), its pharmacologically acceptable salt or hydrates thereof.

- 6. (Amended) The condensed pyridine compound as claimed in Claim 4, which is a compound selected from the following group:
- (1) 3-(4-benzyloxybutyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (2) 1-(1-methylpiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,
- (3) 1-(1-methylpiperazin-4-yl)-3-[4-(2-hydroxyethoxy)phenyl]isoquinoline,
- (4) 1-(4-ethylpiperazin-1-yl)-3-(4-trifluoromethylphenyl)isoquinoline,
- (5) 1-(4-ethylpiperazin-1-yl)-3-[4-(1-fluoropropyl)phenyl]isoquinoline,
- (6) 1-(4-ethylpiperazin-1-yl)-3-(2-hydroxyphenyl)isoquinoline,
- (7) 1-(4-ethylpiperazin-1-yl)-3-(4-hydroxyphenyl)isoquinoline,
- (8) 1-(4-ethylpiperazin-1-yl)-3-(2-methoxyphenyl)isoquinoline,
- (9) 1-(4-ethylpiperazin-1-yl)-3-(3-methoxyphenyl)isoquinoline,

- (10) 1-(4-ethylpiperazin-1-yl)-3-(4-methoxyphenyl)isoquinoline,
- (11) 3-(2,3-dimethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (12) 3-(2,4-dimethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (13) 3-(2,5-dimethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (14) 3-(3,4-dimethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (15) 3-(3,5-dimethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (16) 1-(4-ethylpiperazin-1-yl)-3-(2,4,5-trimethoxyphenyl)isoquinoline,
- (17) 1-(4-ethylpiperazin-1-yl)-3-(4-hydroxymethylphenyl)isoquinoline,
- (18) 3-[2-(2-hydroxyethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (19) 1-(4-ethylpiperazin-1-yl)-3-[4-(1-hydroxypropyl)phenyl]isoquinoline,
- (20) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxypropyl)phenyl]isoquinoline,
- (21) 1-(4-ethylpiperazin-1-yl)-3-[4-(1-hydroxybutyl)phenyl]isoquinoline,
- (22) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxybutyl)phenyl]isoquinoline,
- (23) 3-[4-(4-hydroxybutyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (24) 3-[4-(1,3-dihydroxypropyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (25) 3-[4-(1,3-dihydroxy-3-methylbutyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (26) 3-[4-(3-hydroxy-1-methoxybutyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (27) 1-(1-ethylpiperazin-4-yl)-3-[4-(3-hydroxy-1-

fluoropropyl)phenyl]isoquinoline,

(28) 1-(4-ethylpiperazin-1-yl)-3-[4-(4-hydroxymethyl-3-

fluoro)phenyl]isoquinoline,

(29) 1-(4-ethylpiperazin-1-yl)-3-[3-fluoro-4-(1-

hydroxypropyl)phenyl]isoquinoline,

- (30) 3-[3-chloro-4-(3-hydroxypropyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (31) 3-[3-carboxamide-4-(3-hydroxypropyl)phenyl]-1-(4-ethylpiperazin-1-



yl)isoquinoline,

- (32) 3-[3-cyano-4-(3-hydroxypropyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (33) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxypropyl)-3-methoxyphenyl]isoquinoline,
- (34) 3-[3-(3-hydroxypropyl)-4-methoxyphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (35) 3-[3-(4-hydroxybutyl)-4-methoxyphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (36) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethoxy)phenyl]isoquinoline,
- (37) 3-[3,4-(2-dihydroxyethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (38) 3-[3,5-(2-dihydroxyethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (39) 3-[3-chloro-4-(2-hydroxyethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (40) 3-[3-methyl-4-(2-hydroxyethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (41) 3-[3-isopropyl-4-(2-hydroxyethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (42) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-methoxyethoxy)phenyl]isoquinoline,
- (43) 3-[3,4-(2-dimethoxyethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (44) 3-[4-(2-hydroxyethoxy)methylphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (45) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-fluoroethoxy)phenyl]isoquinoline,
- (46) 3-(3,4-methylenedioxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (47) 1-(1-ethylpiperazin-4-yl)-3-(4-acetonyloxyphenyl)isoquinoline,
- (48) 3-[4-(3-aminopropoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (49) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-dimethylaminoethoxy)phenyl]isoquinoline,
- (50) 3-[4-(3-acetamidepropoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (51) 3-(4-cyanomethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,



- (52) 3-[4-(2-cyanoethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (53) 3-[4-(3-cyanopropoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (54) 3-[4-(3-methylthiopropoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (55) 3-[4-(3-methylsulfonpropoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (56) 3-{4-[2-(1-imidazonyl)ethoxy]phenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (57) 3-{4-[3-(4-pyridyl)propoxy]phenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (58) 3-{4-[2-(2-methylpyridin-5-yl)ethoxy]phenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (59) 1-(1-ethylpiperazin-4-yl)-3-[4-(N-ethylcarbamyl)phenyl]isoquinoline,
- (60) (Z)-1-(4-ethylpiperazin-1-yl)-3-(4-hydroxyiminomethylphenyl)isoquinoline,
- (61) (E)-1-(4-ethylpiperazin-1-yl)-3-(4-hydroxyiminomethylphenyl)isoquinoline,
- (62) 3-(4-cyanophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (63) 1-(4-ethylpiperazin-1-yl)-3-(4-N-propylaminocarbonylphenyl)isoquinoline,
- (64) 3-[4-(4-hydroxy-1-cyclohexen-1-yl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (65) 3-(4-aminophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (66) 3-[4-(N,N-dimethylamino)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (67) 3-[4-(2-hydroxyethyl)aminophenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (68) 3-(4-acetamidephenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (69) 3-(4-ethylcarbonylaminophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (70) 3-(4-propylcarbonylaminophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (71) 3-(4-ethylsulfonylaminophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (72) 3-(4-propylsulfonylaminophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (73) 3-(4-butylsulfonylaminophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (74) 3-(4-sulfonamidephenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (75) 3-[(4-morpholinyl)sulfonylphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (76) 3-[(4-thiomorpholinyl)sulfonylphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (77) 3-[(1,1-dioxythiomorpholinyl)sulfonylphenyl]-1-(4-ethylpiperazin-1-



- yl)isoquinoline,
- (78) 3-(4-ethylsulfonylaminomethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (79) 3-(4-ethylsulfonylaminoethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (80) 3-(4-ethylaminosulfonylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (81) 3-(4-propylaminosulfonylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (82) 3-[4-(N,N-diethylamino)sulfonylmethylphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (83) 3-[4-(tetrahydropyran-4-yl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (84) 3-[4-(5,6-dihydro-2H-pyran-4-yl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (85) 3-(4-ethylcarbonylaminomethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (86) 3-(4-propylcarbonylaminomethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (87) 3-(4-ethylaminocarbonylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (88) 3-(4-propylaminocarbonylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (89) 3-(4-butylaminocarbonylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (90) 3-(4-methylsulfonylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (91) 3-(3-chloro-4-ethylsulfonylaminomethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (92) 3-(3-chloro-4-propylsulfonylaminomethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

- (93) 3-(4-morpholinylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (94) 3-(4-thiomorpholinylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (95) 3-[4-(3-oxazolidinone)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (96) 3-[4-(4,4-ethylenedioxypiperidin-1-yl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (97) 1-(4-ethylpiperazin-1-yl)-3-[4-(4-hydroxypiperidin-1-yl)phenyl]isoquinoline,
- (98) 1-(4-ethylpiperazin-1-yl)-3-[4-(4-methoxypiperidin-1-yl)phenyl]isoquinoline,
- (99) 3-[2-(4-acetylpiperazin-1-yl)pyridin-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (100) 3-[4-(4-acetylpiperazin-1-yl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (101) 3-(2-methoxybenzyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (102) 3-[α-methyl-(4-methoxybenzyl)]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (103) 3-[α-hydroxy-(2-methoxybenzyl)]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (104) 3-[α-hydroxy-(4-methoxybenzyl)]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (105) 3-[α -methyl- α -hydroxy-(4-methoxybenzyl)]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (106) 1-(1-ethylpiperazin-4-yl)-3-(4-methoxyphenethyl)isoquinoline,
- (107) 1-(1-ethylpiperazin-4-yl)-3-[2-(2-hydroxyethoxy)phenethyl]isoquinoline,
- (108) 1-(1-ethylpiperazin-4-yl)-3-[3-(2-hydroxyethoxy)phenethyl]isoquinoline,
- (109) 1-(1-ethylpiperazin-4-yl)-3-[4-(2-hydroxyethoxy)phenethyl]isoquinoline,
- (110) 1-(1-ethylpiperazin-4-yl)-3-{3-[2-(2-

hydroxyethoxy)phenyl]propyl}isoquinoline,

- (111) 3-(2-methoxyphenylcarbonyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (112) 3-(4-methoxyphenylcarbonyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (113) 3-(4-methoxyindan-1-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (114) 3-(6-methoxyindan-1-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (115) 3-[4-(2-hydroxyethoxy)indan-1-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (116) 3-(8-methoxy-1,2-dihydronaphthalen-4-yl)-1-(4-ethylpiperazin-1-



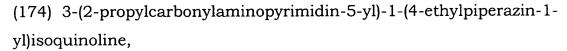
yl)isoquinoline,

- (117) 3-(7-methoxy-1,2-dihydronaphthalen-4-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (118) 3-(6-methoxy-1,2-dihydronaphthalen-4-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (119) 3-(5-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (120) 3-(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (121) 3-(7-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (122) 3-(3-hydroxymethylchroman-6-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (123) 1-(4-ethylpiperazin-1-yl)-3-(2-pyridyl)isoquinoline,
- (124) 1-(1-ethylpiperazin-4-yl)-3-[5-(2-hydroxyethoxy)pyridin-2-yl]isoquinoline,
- (125) 1-(1-ethylpiperazin-4-yl)-3-[2-(2-hydroxyethoxy)pyridin-5-yl]isoquinoline,
- (126) 1-(1-ethylpiperazin-4-yl)-3-[2-(2-methoxyethoxy)pyridin-5-yl]isoquinoline,
- (127) 1-(1-ethylpiperazin-4-yl)-3-[5-(2-methoxyethoxy)pyridin-2-yl]isoquinoline,
- (128) 3-(2-propylcarbonylaminopyridin-5-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (129) 3-[(2-methylsulfonylpyridin)-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (130) 3-[(2-ethylsulfonylpyridin)-5-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (131) 1-(1-ethylpiperazin-4-yl)-3-(2-butylpyridin-5-yl)isoquinoline,
- (132) 1-(1-ethylpiperazin-4-yl)-3-[5-(3-fluoropropyl)pyridin-2-yl)isoquinoline,
- (133) 1-(1-ethylpiperazin-4-yl)-3-[2-(3-fluoropropyl)pyridin-5-yl]isoquinoline,
- (134) 1-(1-ethylpiperazin-4-yl)-3-[5-(3-hydroxypropyl)pyridin-2-yl]isoquinoline,
- (135) 1-(1-ethylpiperazin-4-yl)-3-[2-(3-hydroxypropyl)pyridin-5-yl]isoquinoline,

- (136) 1-(1-ethylpiperazin-4-yl)-3-[2-(3-hydroxybutyl)pyridin-5-yl]isoquinoline,
- (137) 1-(1-ethylpiperazin-4-yl)-3-[2-(4-hydroxybutyl)pyridin-5-yl]isoquinoline,
- (138) 1-(1-ethylpiperazin-4-yl)-3-[2-(3-hydroxy-3-methylbutyl)pyridin-5-yl]isoquinoline,
- (139) 1-(1-ethylpiperazin-4-yl)-3-[2-(3-methoxypropyl)pyridin-5-yl]isoquinoline,
- (140) 1-(1-ethylpiperazin-4-yl)-3-[3-(3-methoxypropyl)pyridin-5-yl]isoquinoline,
- (141) 1-(1-ethylpiperazin-4-yl)-3-[5-(3-methoxypropyl)pyridin-2-yl]isoquinoline,
- (142) 1-(1-ethylpiperazin-4-yl)-3-[2-(3-ethoxypropyl)pyridin-5-yl]isoquinoline,
- (143) 1-(1-ethylpiperazin-4-yl)-3-[2-[3-(2-propoxy)propyl]pyridin-5-yl]isoquinoline,
- (144) 1-(1-ethylpiperazin-4-yl)-3-[2-(3-methoxybutyl)pyridin-5-yl]isoquinoline,
- (145) 1-(1-ethylpiperazin-4-yl)-3-{2-[2-(2-hydroxy-2-cyclohexyl)ethynyl]pyridin-4-yl}isoquinoline,
- (146) 1-(1-ethylpiperazin-4-yl)-3-[2-(1-butynyl)pyridin-5-yl]isoquinoline,
- (147) 1-(4-ethylpiperazin-1-yl)-3-[2-(morpholin-4-yl)pyridin-5-yl]isoquinoline,
- (148) 1-(4-ethylpiperazin-1-yl)-3-[5-(morpholin-4-yl)pyridin-2-yl]isoquinoline,
- (149) 3-[2-(2,6-dimethylmorpholin-4-yl)pyridin-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (150) 1-(4-ethylpiperazin-1-yl)-3-[2-(thiomorpholin-4-yl)pyridin-5-yl]isoquinoline,
- (151) 1-(4-ethylpiperazin-1-yl)-3-[2-(S-oxythiomorpholin-4-yl)pyridin-5-yl]isoquinoline,
- (152) 1-(4-ethylpiperazin-1-yl)-3-[2-(4-hydroxypiperidin-1-yl)pyridin-5-yl]isoquinoline,
- (153) 1-(4-ethylpiperazin-1-yl)-3-[2-(4-methoxypiperidin-1-yl)pyridin-5-

yl]isoquinoline,

- (154) 1-(4-ethylpiperazin-1-yl)-3-[2-(4-hydroxymethylpiperidin-1-yl)pyridin-5yllisoquinoline,
- (155) 3-[2-(5,6-dihydro-2H-pyran-4-yl)pyridin-5-yl]-1-(4-ethylpiperazin-1yl)isoquinoline,
- (156) 3-[2-(tetrahydropyran-4-yl)pyridin-5-yl]-1-(4-ethylpiperazin-1yl)isoquinoline,
- (157) 3-[4-[3-(3-pyridyl)propoxy]phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (158) 1-(1-ethylpiperazin-4-yl)-3-(1-phenylpiperazin-4-yl)isoquinoline,
- (159) 1-(1-ethylpiperazin-4-yl)-3-[1-(2-pyridyl)piperazin-4-yl]isoquinoline,
- (160) 3-[4-(4-morpholinyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (161) 1-(1-ethylpiperazin-4-yl)-3-(2-hydroxymethylthiophen-4-yl)isoquinoline,
- (162) 1-(1-ethylpiperazin-4-yl)-3-(2-hydroxymethylthiophen-5-yl)isoquinoline,
- (163) 1-(1-ethylpiperazin-4-yl)-3-[2-(2-hydroxyethyl)thiophen-5-yl]isoquinoline,
- (164) 1-(1-ethylpiperazin-4-yl)-3-[2-(1-hydroxypropyl)thiophen-4yl]isoquinoline,
- (165) 1-(1-ethylpiperazin-4-yl)-3-[2-(1-hydroxypropyl)thiophen-5yl)isoquinoline,
- (166) 3-[2-(tetrahydropyran-4-yl)thiophen-5-yl]-1-(4-ethylpiperazin-1yl)isoquinoline,
- (167) 1-(1-ethylpiperazin-4-yl)-3-[4-methyl-5-(2-hydroxyethyl)thiazol-2yl]isoquinoline,
- (168) 1-(1-ethylpiperazin-4-yl)-3-(2-hydroxymethylthiazol-5-yl)isoquinoline,
- (169) 1-(1-ethylpiperazin-4-yl)-3-[1-(2-hydroxyethyl)pyrazol-3-yl]isoquinoline,
- (170) 1-(1-ethylpiperazin-4-yl)-3-[(1-hydroxypropyl)thiazol-5-yl]isoquinoline,
- (171) 1-(1-ethylpiperazin-4-yl)-3-[2-(3-hydroxypropyl)thiazol-5-yl]isoquinoline,
- (172) 1-(1-ethylpiperazin-4-yl)-3-[2-(3-methoxypropyl)thiazol-5-yl]isoquinoline,
- (173) 1-(1-ethylpiperazin-4-yl)-3-[2-(4-morpholinyl)thiazol-5-yl]isoquinoline,



- (175) 3-[2-(5,6-dihydro-2H-pyran-4-yl)thiophen-4-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (176) 3-[2-(5,6-dihydro-2H-pyran-4-yl)thiophen-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (177) 1-(1-ethylpiperazin-4-yl)-3-(phenylethynyl)isoquinoline,
- (178) 1-(1-ethylpiperazin-4-yl)-3-(4-methoxyphenyl)ethynylisoquinoline,
- (179) 1-(1-ethylpiperazin-4-yl)-3-(2-pyridyl)ethynylisoquinoline,
- (180) 3-[3-(4-morpholinyl)-1-propynyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (181) 1-(1-ethylpiperazin-4-yl)-3-(3-phenyl-1-propynyl)isoquinoline,
- (182) 1-(1-ethylpiperazin-4-yl)-3-(4-phenyl-1-butynyl)isoquinoline,
- (183) 1-(1-ethylpiperazin-4-yl)-3-[4-(2-

hydroxyethoxy)phenylethynyl]isoquinoline,

- (184) 1-(1-ethylpiperazin-4-yl)-3-benzoylethynylisoquinoline,
- (185) 1-(1-ethylpiperazin-4-yl)-3-(2,4-dimethoxyphenylethynyl)isoquinoline,
- (186) 1-(1-ethylpiperazin-4-yl)-3-[3-(3-methoxypropyl)-5-pyridyl]ethynylisoquinoline,
- (187) 1-(1-ethylpiperazin-4-yl)-3-[2-(2-

hydroxyethoxy)phenyl]ethynylisoquinoline,

- (188) 1-(1-ethylpiperazin-4-yl)-3-[3-(2-hydroxyethoxy)-2-pyridyl]ethynylisoquinoline,
- (189) 1-(1-ethylpiperazin-4-yl)-3-(trans-2-phenylethenyl)isoquinoline,
- (190) 1-(1-ethylpiperazin-4-yl)-3-[trans-2-(4-

methoxyphenyl)ethenyl]isoquinoline,

- (191) 1-(1-ethylpiperazin-4-yl)-3-[cis-2-(4-methoxyphenyl)ethenyl]isoquinoline,
- (192) 1-(1-ethylpiperazin-4-yl)-3-(cis-1-methyl-2-phenylethenyl)isoquinoline,
- (193) 1-(1-ethylpiperazin-4-yl)-3-(trans-1-methyl-2-



phenylethenyl)isoquinoline,

- (194) 1-(1-ethylpiperazin-4-yl)-3-[trans-2-(2-
- hydroxyethoxyphenyl)ethenyl]isoquinoline,
- (195) 1-(1-ethylpiperazin-4-yl)-3-[trans-2-(2-
- methoxyphenyl)ethenyl]isoquinoline,
- (196) 1-(1-ethylpiperazin-4-yl)-3-(trans-2-methyl-2-phenylethen-1-yl)isoquinoline,
- (197) 1-(1-ethylpiperazin-4-yl)-3-[trans-2-(2-fluorophenyl)ethenyl]isoquinoline,
- (198) 1-(1-ethylpiperazin-4-yl)-3-[(E)-4-(2-hydroxyethoxy)styryl]isoquinoline,
- (199) 1-(1-ethylpiperazin-4-yl)-3-{trans-2-[3-(2-
- hydroxyethoxy)phenyl]ethenyl}isoquinoline,
- (200) 3-{(E)-2-[2-(4-morpholinyl)pyridin-5-yl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (201) 3-[(E)-2-(4-methylsulfonylphenyl)ethenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (202) 3-[(E)-2-(2-methylsulfonylphenyl)ethenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (203) 3-[(E)-2-(4-methylsulfonylmethylphenyl)ethenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (204) 3-{(E)-2-[3-(4-morpholinyl)phenyl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (205) 3-{(E)-2-[4-(4-morpholinyl)phenyl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (206) 3-{(E)-2-methyl-2-[4-(4-morpholinyl)phenyl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (207) 3-{(E)-2-methyl-2-[3-(4-morpholinyl)phenyl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (208) 3-[(E)-2-methoxymethyl-2-phenylethenyl]-1-(4-ethylpiperazin-1-

yl)isoquinoline,

- (209) 1-(1-ethylpiperazin-4-yl)-3-[trans-2-(2-pyridyl)ethenyl]isoquinoline,
- (210) 1-(1-ethylpiperazin-4-yl)-3-[trans-2-(4-pyridyl)ethenyl]isoquinoline,
- (211) 1-(1-ethylpiperazin-4-yl)-3-[3-(2-methoxy)phenyl-2-propenyl]isoquinoline,
- (212) 1-(1-ethylpiperazin-4-yl)-3-[3-(2-hydroxyethoxy)phenyl-1-propenyl]isoquinoline,
- (213) 3-{(E)-2-[2-(4-morpholinyl)pyridin-5-yl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (214) 3-{(E)-2-[3-(4-morpholinyl)pyridazin-6-yl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (215) 3-{(E)-2-[4-(4-morpholinyl)pyrimidin-6-yl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (216) 3-{(E)-2-[2-(4-morpholinyl)pyrazin-6-yl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (217) 3-[1-(4-methoxyphenyl)ethenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (218) 1-(1-ethylpiperazin-4-yl)-N-phenyl-3-isoquinolinecarboxamide,
- (219) 1-(1-ethylpiperazin-4-yl)-3-(4-methoxyanilinomethyl)isoquinoline,
- (220) 1-(1-ethylpiperazin-4-yl)-3-(4-methoxybenzylamino)isoquinoline,
- (221) 1-(1-ethylpiperazin-4-yl)-4-methoxy-3-(4-methoxyphenyl)isoquinoline,
- (222) 1-(1-ethylpiperazin-4-yl)-5-methyl-3-(4-methoxyphenyl)isoquinoline,
- (223) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethoxy)phenyl]-6-fluoroisoquinoline,
- (224) 1-(1-ethylpiperazin-4-yl)-6-fluoro-3-(4-methoxyphenyl)isoquinoline,
- (225) 1-(1-ethylpiperazin-4-yl)-6-methyl-3-(4-methoxyphenyl)isoquinoline,
- (226) 1-(4-ethylpiperazin-1-yl)-6-methoxy-3-(4-trifluoromethylphenyl)isoquinoline,
- (227) 1-(4-ethylpiperazin-1-yl)-6-methoxy-3-(4-methoxyphenyl)isoquinoline,



- (228) 1-(1-ethylpiperazin-4-yl)-7-methyl-3-(4-methoxyphenyl)isoquinoline,
- (229) 1-(4-ethylpiperazin-1-yl)-7-fluoro-3-(4-methoxyphenyl)isoquinoline,
- (230) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethoxy)phenyl]-7-fluoroisoquinoline,
- (231) 1-(4-ethylpiperazin-1-yl)-7-methoxy-3-phenylisoquinoline,
- (232) 1-(4-ethylpiperazin-1-yl)-7-methoxy-3-(2-methoxyphenyl)isoquinoline,
- (233) 1-(1-ethylpiperazin-4-yl)-8-fluoro-3-(4-methoxyphenyl)isoquinoline,
- (234) 1-(1-ethylpiperazin-4-yl)-8-fluoro-3-[4-(2-

hydroxyethoxy)phenyl]isoquinoline,

- (235) 1-(1-ethylpiperazin-4-yl)-8-methoxy-3-(4-methoxyphenyl)isoquinoline,
- (236) 1-(1-propylpiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,
- (237) 1-(1-propylpiperazin-4-yl)-3-[4-(2-hydroxyethoxy)phenyl]isoquinoline,
- (238) 1-(1-isopropylpiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,
- (239) 1-(1-cyclopropylpiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,
- (240) 1-(1-allylpiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,
- (241) 1-[1-(2-fluoroethyl)piperazin-4-yl]-3-(4-methoxyphenyl)isoquinoline,
- (242) 1-[4-(2-hydroxyethyl)piperazin-1-yl]-3-(4-methoxyphenyl)isoquinoline,
- (243) 3-(4-ethylsulfonylaminomethylphenyl)-1-[4-(2-hydroxyethyl)piperazin-1-yl]isoquinoline,
- (309) 1-(1-ethylpiperazin-4-yl)-3-[4-(2-hydroxy-2-methylpropoxy)phenyl]isoquinoline,
- (310) 1-(1-ethylpiperazin-4-yl)-3-(3-phenyl-3-hydroxy-1-propynyl)isoquinoline,
- (311) 1-(1-ethylpiperazin-4-yl)-8-methyl-3-(4-methoxyphenyl)isoquinoline,
- (312) 1-(1-ethylpiperazin-4-yl)-4-methyl-3-(4-methoxyphenyl)isoquinoline,
- (313) 1-[1-(2-cyanoethyl)piperazin-4-yl]-3-(4-methoxyphenyl)isoquinoline,
- (314) 1-[1-(carbamoylmethyl)piperazin-4-yl]-3-(4-methoxyphenyl)isoquinoline,
- (315) 1-(4-ethylsulfonylpiperazin-1-yl)-3-(4-methoxyphenyl)isoquinoline,
- (318) 1-(4-ethylpiperazin-1-yl)-3-(4-methoxyphenyl)isoquinoline,



- (319) 1-{N-[2-(2-dimethylamino)ethyl]-N-methylamino}-3-(4-methoxyphenyl)isoquinoline,
- (320) 1-(4-morpholinyl)-3-(4-methoxyphenyl)isoquinoline,
- (321) 1-(1-ethyl-2-pyrrolidinyl)methylamino-3-(4-methoxyphenyl)isoquinoline,
- (322) 3-(4-methoxyphenyl)-1-[2-(2-pyridyl)ethyl]aminoisoquinoline,
- (323) 1-[2-(4-morpholinyl)ethyl]amino-3-(4-methoxyphenyl)isoquinoline,
- (324) 1-(1-imidazolyl)-3-(4-methoxyphenyl)isoquinoline,
- (325) 1-[4-(piperidin-1-yl)piperidin-1-yl]-3-(4-methoxyphenyl)isoquinoline,
- (326) 1-(1,4,5,6-tetrahydropyrimidin-1-yl)-3-(4-methoxyphenyl)isoquinoline,
- (327) 1-(1-ethylhomopiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,
- (328) 3-(4-methoxyphenyl)-1-(4-ethylpiperazin-1-yl)methylisoquinoline,
- (329) 1-(4-ethylpiperazin-1-yl)-3-[3-(2-hydroxyethoxy)phenyl]isoquinoline,
- (330) 1-(4-ethylpiperazin-1-yl)-3-(4-ethoxyphenyl)isoquinoline,
- (331) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxypropoxy)phenyl]isoquinoline,
- (332) 1-(4-ethylpiperazin-1-yl)-3-(3,4-ethylenedioxyphenyl)isoquinoline,
- (333) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-methoxypropyl)phenyl]isoquinoline,
- (334) 1-(4-ethylpiperazin-1-yl)-3-[4-(n-butyl)phenyl]isoquinoline,
- (335) 1-(4-ethylpiperazin-1-yl)-3-(4-methoxypyridin-2-yl)isoquinoline,
- (336) 1-(4-ethylpiperazin-1-yl)-3-[4-(1,3-dioxolan-2-ylmethyloxy)phenyl]isoquinoline,
- (337) 1-(4-ethylpiperazin-1-yl)-3-[4-(2,3-dihydroxypropoxy)phenyl]isoquinoline,
- (338) 1-(4-ethylpiperazin-1-yl)-3-(4-carbamoylmethoxyphenyl)isoquinoline,
- (339) 1-(4-ethylpiperazin-1-yl)-3-(4-trifluoromethoxyphenyl)isoquinoline,
- (340) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethoxy)-3-methoxyphenyl]isoquinoline,
- (341) 1-(4-ethylpiperazin-1-yl)-3-(4-methylthiophenyl)isoquinoline,
- (342) 1-(4-ethylpiperazin-1-yl)-3-(4-methylsulfonylphenyl)isoquinoline,
- (343) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxy-3-



methoxypropoxy)phenyl]isoquinoline,

(344) 1-(4-ethylpiperazin-1-yl)-3-(2-methoxypyridin-5-yl)isoquinoline,

(345) 1-(4-ethylpiperazin-1-yl)-3-[4-(1-hydroxy-1-

methylethyl)phenyl|isoquinoline,

(346) 1-(4-ethylpiperazin-1-yl)-3-{4-[N-(2-

hydroxyethyl)carbamoyl]phenyl}isoquinoline,

(347) 1-(4-ethylpiperazin-1-yl)-3-{4-[N-(2-

hydroxyethyl)sulfamoyl]phenyl}isoquinoline,

(348) 1-(4-ethylpiperazin-1-yl)-3-[4-(1-hydroxyethyl)phenyl]isoquinoline,

(349) 1-(4-ethylpiperazin-1-yl)-3-[4-(propylsulfonyl)phenyl]isoquinoline,

(350) 1-(4-ethylpiperazin-1-yl)-3-{4-[(3-

methoxypropyl)sulfonyl]phenyl}isoquinoline,

(351) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethyl)phenyl]isoquinoline,

(352) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-

hydroxypropyl)sulfonylphenyl]isoquinoline,

(353) 1-(4-ethylpiperazin-1-yl)-3-[4-(N-propylsulfamoyl)phenyl]isoquinoline,

(354) 1-(4-ethylpiperazin-1-yl)-3-{4-[N-(2-

methoxyethyl)sulfamoyl]phenyl}isoquinoline,

(355) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-fluoropropyl)sulfonylphenyl]isoquinoline,

(356) 1-(4-ethylpiperazin-1-yl)-3-[4-(pyrrolidin-1-yl)sulfonylphenyl]isoquinoline,

(357) 1-(4-ethylpiperazin-1-yl)-3-[4-(N-ethylsulfamoyl)phenyl]isoquinoline,

(358) 1-(4-ethylpiperazin-1-yl)-3-[4-(N-methyl-N-

propylsulfamoyl)phenyl]isoquinoline,

(359) 1-(4-ethylpiperazin-1-yl)-3-[4-(N,N-diethylsulfamoyl)phenyl]isoquinoline,

(360) 1-(4-ethylpiperazin-1-yl)-3-{4-[N-(1-

methylpropyl)sulfamoyl]phenyl}isoquinoline,

(361) 1-(4-ethylpiperazin-1-yl)-3-[4-(N-methylsulfamoyl)phenyl]isoquinoline,

(362) 1-(4-ethylpiperazin-1-yl)-3-[4-(N,N-

dimethylsulfamoyl)phenyl]isoquinoline,

(363) 1-(4-ethylpiperazin-1-yl)-3-[4-(N-

cyclopropylsulfamoyl)phenyl]isoquinoline,

- (364) 1-(4-ethylpiperazin-1-yl)-3-[4-(N-ethylcarbamoyl)phenyl]isoquinoline,
- (365) 1-(4-ethylpiperazin-1-yl)-3-[4-(N-methylcarbamoyl)phenyl]isoquinoline,
- (366) 1-(4-ethylpiperazin-1-yl)-3-[4-(ethylsulfonyl)phenyl]isoquinoline,
- (367) 1-(4-ethylpiperazin-1-yl)-3-(3-fluoro-4-methoxyethoxyphenyl)isoquinoline,
- (368) 1-(4-ethylpiperazin-1-yl)-3-(3,5-difluoro-4-

methoxyethoxyphenyl)isoquinoline,

(369) 1-(4-ethylpiperazin-1-yl)-3-[3-fluoro-4-(2-

hydroxyethoxy)phenyl]isoquinoline,

(370) 1-(4-ethylpiperazin-1-yl)-3-[3,5-difluoro-4-(2-

hydroxyethoxy)phenyl]isoquinoline,

(371) 1-(4-ethylpiperazin-1-yl)-3-[3,5-difluoro-4-(2-

fluoroethoxy)phenyl]isoquinoline,

(372) 1-[4-(2-hydroxyethyl)piperazin-1-yl]-3-[4-(N-

ethylsulfamoyl)phenyl]isoquinoline,

(373) 1-[4-(2-hydroxyethyl)piperazin-1-yl]-3-[4-

(propylsulfonyl)phenyl]isoquinoline,

- (374) 1-(4-ethylpiperazin-1-yl)-3-(phenylthio)isoquinoline,
- (375) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-oxopropyl)phenyl]isoquinoline,
- (376) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxypropyl)phenyl]isoquinoline,
- (377) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxy-2-

methylpropyl)phenyl]isoquinoline,

- (378) 1-(4-ethylpiperazin-1-yl)-3-(2-pyridylthio)isoquinoline,
- (379) 1-(4-ethylpiperazin-1-yl)-3-(4-butyrylphenyl)isoquinoline,
- (380) 1-(4-ethylpiperazin-1-yl)-3-[4-(1-hydroxyiminobutyl)phenyl]isoquinoline,
- (381) 1-(4-ethylpiperazin-1-yl)-3-[4-(N-methyl-N-



propylcarbamoyl)phenyl]isoquinoline,

(382) 1-(4-ethylpiperazin-1-yl)-3-{4-[N-(2-hydroxyethyl)-N-

methylcarbamoyl]phenyl}isoquinoline,

(383) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-1-

methylpropyl)phenyl]isoquinoline,

(384) 1-(4-ethylpiperazin-1-yl)-3-[4-(N-propylcarbamoyl)-3-

fluorophenyl]isoquinoline,

(385) 1-(4-ethylpiperazin-1-yl)-3-[3-fluoro-4-(2-

hydroxyethyl)phenyl]isoquinoline,

(386) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-2-

methylpropyl)phenyllisoquinoline,

(387) 1-(4-ethylpiperazin-1-yl)-3-[4-(1,2-dihydroxyethyl)phenyl]isoquinoline,

(388) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-3-

methylbutyl)phenyl]isoquinoline,

(389) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-2,2-

dimethylpropyl)phenyl]isoquinoline,

(390) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-1,1-

dimethylpropyl)phenyl]isoquinoline,

(391) 1-(4-ethylpiperazin-1-yl)-3-[4-(1,3-dihydroxy-2,2-

dimethylpropyl)phenyllisoquinoline,

(392) 1-(4-ethylpiperazin-1-yl)-3-{4-[4-(2-hydroxyethyl)tetrahydropyran-4-

yl]phenyl}isoquinoline,

(393) 1-(4-ethylpiperazin-1-yl)-3-(2-hydroxymethylindan-5-yl)isoquinoline,

(394) 1-(4-ethylpiperazin-1-yl)-3-[(3,4-dihydroxymethyl)phenyl]isoquinoline,

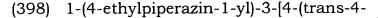
(395) 1-(4-ethylpiperazin-1-yl)-3-[4-(1,4-dioxan-2-yl)phenyl]isoquinoline,

(396) 1-(4-ethylpiperazin-1-yl)-3-[4-(tetrahydrofuran-2-yl)phenyl]isoquinoline,

(397) 1-(4-ethylpiperazin-1-yl)-3-[4-(cis-4-

hydroxycyclohexyl)phenyl|isoquinoline,

Appl. No.: 09/852,850



hydroxycyclohexyl)phenyl]isoquinoline,

(399) 1-(4-ethylpiperazin-1-yl)-3-[4-(tetrahydropyran-4-

yl)methylphenyl]isoquinoline,

(400) 4-chloro-1-(4-ethylpiperazin-1-yl)-3-(4-methoxyphenyl)isoquinoline,

(401) 1-(4-ethylpiperazin-1-yl)-3-[4-(cis-4-hydroxytetrahydropyran-2-yl)phenyl]isoquinoline,

(402) 1-(4-ethylpiperazin-1-yl)-3-[4-(trans-4-hydroxytetrahydropyran-2-yl)phenyl]isoquinoline,

(403) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxypropoxy)phenyl]isoquinoline,

(404) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxy-1-methylethoxy)phenyl]isoquinoline,

(405) 1-(4-ethylpiperazin-1-yl)-3-(2-methoxypyridin-4-yl)isoquinoline,

(406) 1-(4-ethylpiperazin-1-yl)-3-(2-benzyloxypyridin-4-yl)isoquinoline and 1-(4-ethylpiperazin-1-yl)-3-(2-chloropyridin-4-yl)isoquinoline,

(407) 1-(4-ethylpiperazin-1-yl)-3-[2-(2-methoxyethoxy)pyridin-4-yl]isoquinoline,

(408) 1-(4-ethylpiperazin-1-yl)-3-(4-carbamoylphenyl)isoquinoline,

(409) 1-(4-ethylpiperazin-1-yl)-3-[4-

(cyclohexylhydroxymethyl)phenyl]isoquinoline,

(410) 1-(4-ethylpiperazin-1-yl)-3-[4-(4-hydroxytetrahydropyran-4-yl)phenyl]isoquinoline,

(411) 1-(4-ethylpiperazin-1-yl)-3-{4-[bis(1,3-thiazol-2-

yl)hydroxymethyl]phenyl}isoquinoline,

(412) 1-(4-ethylpiperazin-1-yl)-3-[4-(1,3-thiazol-2-

yl)hydroxymethylphenyl]isoquinoline,

(413) 1-(4-ethylpiperazin-1-yl)-3-[(3-pyridyl)hydroxymethyl]isoquinoline,

(414) 1-(4-ethylpiperazin-1-yl)-3-(1-indanon-5-yl)isoquinoline,



- (415) 1-(4-ethylpiperazin-1-yl)-3-(1-hydroxyindan-5-yl)isoquinoline,
- (416) 1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-3-methylbutyl)-3-fluorophenyl]isoquinoline,
- (417) 1-(4-ethylpiperazin-1-yl)-3-[3-cyano-4-(2-hydroxyethoxy)phenyl]isoquinoline,
- (418) 1-(4-ethylpiperazin-1-yl)-3-[3-(3-hydroxypropyl)phenyl]isoquinoline,
- (419) 1-(4-ethylpiperazin-1-yl)-3-benzylisoquinoline,
- (420) 1-(4-ethylpiperazin-1-yl)-3-(2-hydroxy-2-phenylethyl)isoquinoline,
- (421) 3-benzamide-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (422) 3-benzenesulfonamide-1-(4-ethylpiperazin-1-yl)isoquinoline,
- (423) 1-(4-ethylpiperazin-1-yl)-3-(4-methoxybenzenesulfonamide)isoquinoline,
- (424) 1-(4-ethylpiperazin-1-yl)-3-(4-methoxyphenoxymethyl)isoquinoline,
- (425) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethoxy)-2-ethoxyphenyl]isoquinoline,
- (426) 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxycyclohexyloxy)phenyl]isoquinoline, and
- (427) 4-(4-ethylpiperazin-1-yl)-2-(4-methoxyphenyl)quinazoline.
- 7. (Amended) A pharmaceutical composition which comprises a pharmaceutically effective dose of the condensed pyridine compound as claimed in Claim 1, its pharmaceutically acceptable salt or hydrates thereof, and pharmaceutically acceptable carriers.
- 8. (Amended) A pharmaceutical composition for treating or ameliorating diseases against which serotonin antagonism is efficacious, which

comprises the condensed pyridine compound as claimed in Claim 1, its pharmaceutically acceptable salt or hydrates thereof as the active ingredient.

9. A pharmaceutical composition for treating or ameliorating spastic paralysis, which comprises the condensed pyridine compound as claimed in Claim 1, its pharmaceutically acceptable salt or hydrates thereof as the active ingredient.

A3

- 10. (Amended) A pharmaceutical composition for use as a muscle relaxant, which comprises the condensed pyridine compound as claimed in Claim 1, its pharmaceutically acceptable salt or hydrates thereof as the active ingredient.
- 11. (Amended) A method for treating diseases against which serotonin antagonism is efficacious, or for treating spastic paralysis, or for ameliorating myotonia, which comprising the step of administering to a patient a pharmaceutically effective dose of the condensed pyridine compound as claimed in Claim 1, its pharmaceutically acceptable salt or hydrates thereof.

Please add new claim 12.

--12. The compound of claim 6, identified as 1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethoxy)phenyl]isoquinoline.--



Appl. No.: 09/852,850

Attached hereto is a marked up version showing the changes made to the application by this Amendment.